

INTERNATIONAL SEARCH REPORT

Int. Application No
PCT/US2004/018456

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C211/59 C07C211/60 C07C215/16 C07C229/68 C07D295/13
A61K31/04 A61K31/136 A61K31/277 A61K31/4453 A61P5/26

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07C C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312536 retrieved from Xfire Database accession no. 9508573 abstract & MOLECULES, vol. 7, no. 12, 2002, pages 885-895,	1,3,6, 10-13
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312537 retrieved from Xfire Database accession no. 8221473 abstract -/-	1,3,6,7, 10-13

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

7 January 2005

Date of mailing of the international search report

24/02/2005

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3018

Authorized officer

Cooper, S

INTERNATIONAL SEARCH REPORT

In onal Application No
PCT/US2004/018456

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	& CHEM. PHARM. BULL., vol. 46, no. 8, 1998, pages 1265-1273, ----- DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312538 retrieved from XFIRE Database accession no. 7464824 abstract & J. MED. CHEM., vol. 38, no. 26, 1995, pages 5051-5065, -----	1,3,6,7, 10-13
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312539 retrieved from XFIRE Database accession no. 7243397, 7223516 abstract & J. MED. CHEM., vol. 38, no. 8, 1995, pages 1344-1354, -----	1,3,6,7, 10-13
X	J. DONALD ALBRIGHT ET AL: "Potential Antiatherosclerotic Agents. 3. Substituted Benzoic and Non Benzoic Acid Analogues of Cetaben" J. MED. CHEM., vol. 26, no. 10, 1983, pages 1393-1411, XP002312531 Scheme V; Table IV, compound 84 -----	1-3,6,7, 10-13
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312540 retrieved from XFIRE Database accession no. 5747353, 3281950 abstract & CHEM. PHARM. BULL., vol. 32, no. 10, 1984, pages 3968-3980, -----	1,3, 10-13
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312541 retrieved from XFIRE Database accession no. 2803028 abstract & J. PHARM. SCI., vol. 83, no. 2, 1994, pages 219-221, ----- -/---	1-3, 10-13

INTERNATIONAL SEARCH REPORT

Int. Application No
PC1/US2004/018456

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	YI-JEN SHUE ET AL: "Direct palladium(0)-catalyzed amination of allylic alcohols with aminonaphthalenes" TETRAHEDRON LETTERS, vol. 44, no. 7, 10 February 2003 (2003-02-10), pages 1481-1485, XP004405249 Table 2, compounds 1c,3c,4c,1d,3d,4d,1f,3f	1,2,7
X	IRINA A. BALOVA ET AL: "A one-pot synthesis of 1-arylalka-1,3-diynes by sequential acetylene zipper and Sonogashira reactions" TETRAHEDRON LETTERS, vol. 44, no. 1, 1 January 2003 (2003-01-01), pages 107-109, XP004397130 Page 108: compounds 7a and 8a and starting aryl iodide	1-3
X	YI XIAO AND XUHONG QIAN: "Novel highly efficient fluoroionophores with a peri-effect and strong electron-donating receptors: TICT-promoted PET and signaling response to transition metal cations with low background emission" TETRAHEDRON LETTERS, vol. 44, no. 10, 3 March 2003 (2003-03-03), pages 2087-2091, XP004410064 Compounds A1,A2,A3,A4	1-3,6,7
X	XUHONG QIAN AND YI YIAO: "4-Amino-1,8-dicyanonaphthalene derivatives as novel fluorophore and fluorescence switches: efficient synthesis and fluorescence enhancement induced by transition metal ions and protons" TETRAHEDRON LETTERS, vol. 43, no. 16, 15 April 2002 (2002-04-15), pages 2991-2994, XP004345946 Page 2992: Compounds E, H1-H4,G	1-3,6,7
X	EDWARD F. ELSLAGER ET AL: "Synthetic Schistosomicides. VI. 4-Substituted 1-(Dialkylaminoalkylamino)naphthalenes" J. MED. CHEM., vol. 7, 1964, pages 658-662, XP002312532 Page 660, Table I; Chart II, compound VIII; compounds XVIIIa,b	1-3,5-7
	-/-	

INTERNATIONAL SEARCH REPORT

In onal Application No
PCT/US2004/018456

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312542 retrieved from XFIRE Database accession no. 2732070 abstract & J. CHEM. SOC., 1961, page 4861,</p>	1-3
X	<p>DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312543 retrieved from XFIRE Database accession no. 2728953 abstract & J. CHEM. SOC., 1964, page 1183,</p>	1-3
X	<p>DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312544 retrieved from XFIRE Database accession no. 2726752 abstract & J. HETEROCYCL. CHEM., vol. 31, no. 6, 1994, pages 1413-1416,</p>	1,3,4
X	<p>DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312545 retrieved from XFIRE Database accession no. 280564, 1023645, 1020947 abstract & J. INDIAN CHEM. SOC., vol. 46, 1969, pages 115-118,</p>	1,3
X	<p>SYDNEY ARCHER ET AL: "4-(AMINOALKYL)AMINO-1,2-DIMETHEOXYNAPHTH ALENES AS ANTIMALARIAL AGENTS" J. MED. CHEM., vol. 23, 1980, pages 516-519, XP002312533 Compounds 4a-4d, 6, 16, 17a, 17b; paragraph bridging pp.517,518.</p>	1,3,5-7, 10-13
X	<p>GB 1 086 988 A (GEVAERT PHOTO PROD NV) 11 October 1967 (1967-10-11) page 4, line 17</p>	1
X	<p>DE 19 54 584 A (CHINOIN GYOGYSZER ES VEGYESZET) 14 May 1970 (1970-05-14) claims 1,2; examples 7,12</p>	1-3

-/--

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2004/018456

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312546 retrieved from XFIRE Database accession no. 641096 abstract & J. ORG. CHEM., vol. 37, 1972, pages 3248-3252,	1,2
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312547 retrieved from XFIRE Database accession no. 409369 abstract & J. MED. CHEM., vol. 22, 1979, pages 134-150,	1,3
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312548 retrieved from XFIRE Database accession no. 318879 abstract & J. PRAKT. CHEM., vol. 60, no. 2, 1899, page 193,	1,3
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312549 retrieved from XFIRE Database accession no. 311805 abstract & GAZZ. CHIM. ITAL., vol. 17, 1887, page 412,	1,2
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312550 retrieved from XFIRE Database accession no. 2013744 abstract & J. ORG. CHEM., vol. 38, 1973, pages 2838-2842,	1-3,6,7
	-/--	

INTERNATIONAL SEARCH REPORT

In International Application No
PCT/US2004/018456

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 03/011824 A (SQUIBB BRISTOL MYERS CO ; SUN CHONGQING (US); AUGERI DAVID (US); HAMAN) 13 February 2003 (2003-02-13) Page 50, line 24; page 55, line 8; page 62, line 4; page 63, line 21 - page 64, line 2.	1-3
A	claims 1-16	14-17
X	WO 00/42031 A (BAYER AG) 20 July 2000 (2000-07-20) Page 123, line 23; page 128, lines 7,8; page 163, lines 13,14.	1-3
A	page 1, lines 5-7; claims 1-8	14-17
X	WO 02/24702 A (BALOG JAMES AARON ; PICKERING DACIA A (US); SQUIBB BRISTOL MYERS CO (U) 28 March 2002 (2002-03-28) Page 173, line 16 - page 174, line 7; page 178, lines 17-23	1-3
A	page 56, line 24 - page 57, line 7; claim 1	14-17
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312551 retrieved from XFIRE Database accession no. 6393231, 8482292 abstract & PHYS. CHEM. CHEM. PHYS, vol. 2, no. 5, 2000, pages 981-992,	1-3,6,7
X	DATABASE BEILSTEIN BEILSTEIN INSTITUTE FOR ORGANIC CHEMISTRY, FRANKFURT-MAIN, DE; XP002312552 retrieved from XFIRE Database accession no. 3457249, 3464670, 3495040 abstract & RECL. TRAV. CHIM. PAYS-BAS, vol. 50, 1931, pages 681-695,	1,2
X	G. BARTOLI ET AL: "Reduction of Nitronate Adducts from RMgX and 1-Methoxy-4-nitro-naphthalene to Oximes or Amines by Trivalent Phosphorus Reagents" TETRAHEDRON, vol. 40, no. 18, 1984, pages 3437-3442, XP002312534 Page 3438: Compounds 6a-c,6e	1

-/--

INTERNATIONAL SEARCH REPORT

In International Application No

PCT/US2004/018456

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>NIGEL J. BUNCE AND STEPHEN R. CATER: "Photosubstitution of 1-Methoxy-4-nitronaphthalene with Amine Nucleophiles: Dual Pathways" J. ORG. CHEM., vol. 52, 1987, pages 4214-4223, XP002312535 Scheme I; Compounds 2 on p.4216; compounds 3 on p.4217; Experimental section -----</p>	1-3

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2004/018456

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 16 and 17 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2004/018456

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
GB 1086988	A	11-10-1967	NONE	
DE 1954584	A	14-05-1970	AR 192865 A1	21-03-1973
			AR 197371 A1	05-04-1974
			AT 297698 B	10-04-1972
			AT 297699 B	10-04-1972
			AT 295524 B	10-01-1972
			CA 960217 A1	31-12-1974
			CH 542230 A	30-09-1973
			CH 539648 A	31-07-1973
			CH 537409 A	31-05-1973
			DE 1954584 A1	14-05-1970
			FI 51353 B	31-08-1976
			FR 2024805 A5	04-09-1970
			GB 1286315 A	23-08-1972
			IL 33292 A	30-04-1973
			NL 6916638 A	11-05-1970
			NO 129464 B	16-04-1974
			PL 80326 B1	30-08-1975
			SE 7414477 A	18-11-1974
			SE 7414478 A	18-11-1974
			SU 465792 A3	30-03-1975
			US 3775409 A	27-11-1973
WO 03011824	A	13-02-2003	EP 1414795 A1	06-05-2004
			WO 03011824 A1	13-02-2003
			US 2003055094 A1	20-03-2003
			US 2004092559 A1	13-05-2004
WO 0042031	A	20-07-2000	AU 2708700 A	01-08-2000
			BG 105761 A	29-03-2002
			BR 9916999 A	30-10-2001
			CA 2359562 A1	20-07-2000
			CN 1337955 T	27-02-2002
			CZ 20012530 A3	13-02-2002
			EP 1144396 A2	17-10-2001
			HU 0105134 A2	29-04-2002
			ID 30514 A	13-12-2001
			JP 2002534517 T	15-10-2002
			NO 20013318 A	30-08-2001
			SK 10032001 A3	09-05-2002
			TR 200102041 T2	21-12-2001
			WO 0042031 A2	20-07-2000
			US 6353006 B1	05-03-2002
			ZA 200105253 A	05-09-2002
WO 0224702	A	28-03-2002	AU 6994301 A	02-04-2002
			AU 8821301 A	08-01-2002
			BG 107675 A	31-12-2003
			BR 0113980 A	24-06-2003
			CA 2413417 A1	03-01-2002
			CA 2423071 A1	28-03-2002
			CN 1454083 T	05-11-2003
			CZ 20024214 A3	16-04-2003
			CZ 20030780 A3	14-01-2004
			EP 1319007 A1	18-06-2003
			EP 1299094 A2	09-04-2003
			HU 0303172 A2	29-12-2003

INTERNATIONAL SEARCH REPORT

information on patent family members

International Application No
PCT/US2004/018456

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0224702 A		HU 0400455 A2	28-06-2004
		JP 2004509072 T	25-03-2004
		JP 2004509895 T	02-04-2004
		NO 20026194 A	26-02-2003
		NO 20031266 A	13-05-2003
		NZ 524803 A	24-09-2004
		PL 361707 A1	04-10-2004
		SK 4982003 A3	04-05-2004
		WO 0224702 A1	28-03-2002
		WO 0200617 A2	03-01-2002
		US 2004176324 A1	09-09-2004
		US 2002173445 A1	21-11-2002
		AU 1560902 A	08-01-2002
		BR 0111869 A	23-09-2003
		CA 2413683 A1	03-01-2002
		CN 1443187 T	17-09-2003
		CZ 20024250 A3	15-10-2003
		EP 1299385 A2	09-04-2003
		HU 0303165 A2	29-12-2003
		JP 2004515462 T	27-05-2004
		NO 20026167 A	20-12-2002
		WO 0200653 A2	03-01-2002
		US 2003114420 A1	19-06-2003
		US 2004077606 A1	22-04-2004